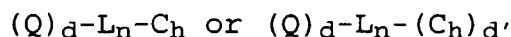


WHAT IS CLAIMED IS:

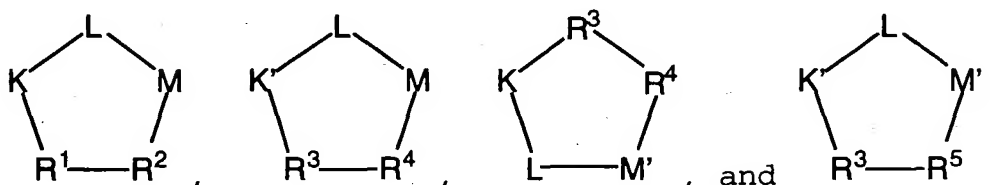
1. A compound, comprising: a targeting moiety and a chelator, wherein the targeting moiety is bound to the chelator, is a peptide or peptidomimetic, and binds to a receptor that is upregulated during angiogenesis and the compound has 0-1 linking groups between the targeting moiety and chelator.

2. A compound according to Claim 1, wherein the targeting moiety is a peptide or a mimetic thereof and the receptor is selected from the group: EGFR, FGFR, PDGFR, Flk-1/KDR, Flt-1, Tek, Tie, neuropilin-1, endoglin, endosialin, Axl, $\alpha_v\beta_3$, $\alpha_v\beta_5$, $\alpha_5\beta_1$, $\alpha_4\beta_1$, $\alpha_1\beta_1$, and $\alpha_2\beta_2$ and the linking group is present between the targeting moiety and chelator.

3. A compound according to Claim 2, the receptor is the integrin $\alpha_v\beta_3$ and the compound is of the formula:



wherein, Q is a peptide independently selected from the group:



K is an L-amino acid independently selected at each occurrence from the group: arginine, citrulline, N-methylarginine, lysine, homolysine, 2-aminoethylcysteine, δ -N-2-imidazolinylnornithine, δ -N-benzylcarbamoylnornithine, and β -2-benzimidazolylacetyl-1,2-diaminopropionic acid;

K' is a D-amino acid independently selected at each
 occurrence from the group: arginine, citrulline,
 N-methylarginine, lysine, homolysine,
 2-aminoethylcysteine, δ -N-2-imidazolinylnornithine,
 5 δ -N-benzylcarbamoylornithine, and
 β -2-benzimidazolylacetyl-1,2-diaminopropionic acid;

L is independently selected at each occurrence from the
 group: glycine, L-alanine, and D-alanine;

10 M is L-aspartic acid;

M' is D-aspartic acid;

15 R¹ is an amino acid substituted with 0-1 bonds to L_n,
 independently selected at each occurrence from the
 group: glycine, L-valine, D-valine, alanine, leucine,
 isoleucine, norleucine, 2-aminobutyric acid,
 2-aminohexanoic acid, tyrosine, phenylalanine,
 20 thienylalanine, phenylglycine, cyclohexylalanine,
 homophenylalanine, 1-naphthylalanine, lysine, serine,
 ornithine, 1,2-diaminobutyric acid,
 1,2-diaminopropionic acid, cysteine, penicillamine, and
 methionine;

25 R² is an amino acid, substituted with 0-1 bonds to L_n,
 independently selected at each occurrence from the
 group: glycine, valine, alanine, leucine, isoleucine,
 norleucine, 2-aminobutyric acid, 2-aminohexanoic acid,
 30 tyrosine, L-phenylalanine, D-phenylalanine,
 thienylalanine, phenylglycine, biphenylglycine,
 cyclohexylalanine, homophenylalanine,
 L-1-naphthylalanine, D-1-naphthylalanine, lysine,
 serine, ornithine, 1,2-diaminobutyric acid,
 35 1,2-diaminopropionic acid, cysteine, penicillamine,
 methionine, and 2-aminothiazole-4-acetic acid;

R^3 is an amino acid, substituted with 0-1 bonds to L_n ,
independently selected at each occurrence from the
group: glycine, D-valine, D-alanine, D-leucine,
D-isoleucine, D-norleucine, D-2-aminobutyric acid,
5 D-2-aminohexanoic acid, D-tyrosine, D-phenylalanine,
D-thienylalanine, D-phenylglycine, D-cyclohexylalanine,
D-homophenylalanine, D-1-naphthylalanine, D-lysine,
D-serine, D-ornithine, D-1,2-diaminobutyric acid,
D-1,2-diaminopropionic acid, D-cysteine,
10 D-penicillamine, and D-methionine;

R^4 is an amino acid, substituted with 0-1 bonds to L_n ,
independently selected at each occurrence from the
group: glycine, D-valine, D-alanine, D-leucine,
15 D-isoleucine, D-norleucine, D-2-aminobutyric acid,
D-2-aminohexanoic acid, D-tyrosine, D-phenylalanine,
D-thienylalanine, D-phenylglycine, D-cyclohexylalanine,
D-homophenylalanine, D-1-naphthylalanine, D-lysine,
D-serine, D-ornithine, D-1,2-diaminobutyric acid,
20 D-1,2-diaminopropionic acid, D-cysteine,
D-penicillamine, D-methionine, and
2-aminothiazole-4-acetic acid;

R^5 is an amino acid, substituted with 0-1 bonds to L_n ,
25 independently selected at each occurrence from the
group: glycine, L-valine, L-alanine, L-leucine,
L-isoleucine, L-norleucine, L-2-aminobutyric acid,
L-2-aminohexanoic acid, L-tyrosine, L-phenylalanine,
L-thienylalanine, L-phenylglycine, L-cyclohexylalanine,
30 L-homophenylalanine, L-1-naphthylalanine, L-lysine,
L-serine, L-ornithine, L-1,2-diaminobutyric acid,
L-1,2-diaminopropionic acid, L-cysteine,
L-penicillamine, L-methionine, and
2-aminothiazole-4-acetic acid;

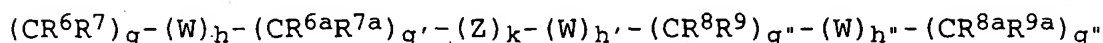
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provided that one of R^1 , R^2 , R^3 , R^4 , and R^5 in each Q is
substituted with a bond to L_n , further provided that
when R^2 is 2-aminothiazole-4-acetic acid, K is

N-methylarginine, further provided that when R^4 is 2-aminothiazole-4-acetic acid, K and K' are N-methylarginine, and still further provided that when R^5 is 2-aminothiazole-4-acetic acid, K' is N-methylarginine;

d is selected from 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

L_n is a linking group having the formula:



provided that $g+h+g'+k+h'+g''+h''+g'''$ is other than 0;

W is independently selected at each occurrence from the group: O, S, NH, $NHC(=O)$, $C(=O)NH$, $C(=O)$, $C(=O)O$, $OC(=O)$, $NHC(=S)NH$, $NHC(=O)NH$, SO_2 , $(OCH_2CH_2)_s$, $(CH_2CH_2O)_s$, $(OCH_2CH_2CH_2)_s$, $(CH_2CH_2CH_2O)_t$, and $(aa)_t$;

aa is independently at each occurrence an amino acid;

Z is selected from the group: aryl substituted with 0-3 R^{10} , C_{3-10} cycloalkyl substituted with 0-3 R^{10} , and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R^{10} ;

R^6 , R^{6a} , R^7 , R^{7a} , R^8 , R^{8a} , R^9 and R^{9a} are independently selected at each occurrence from the group: H, =O, $COOH$, SO_3H , PO_3H , C_1-C_5 alkyl substituted with 0-3 R^{10} , aryl substituted with 0-3 R^{10} , benzyl substituted with 0-3 R^{10} , and C_1-C_5 alkoxy substituted with 0-3 R^{10} , $NHC(=O)R^{11}$, $C(=O)NHR^{11}$, $NHC(=O)NHR^{11}$, NHR^{11} , R^{11} , and a bond to C_h ;

R^{10} is independently selected at each occurrence from the group: a bond to C_h , $COOR^{11}$, OH, NHR^{11} , SO_3H , PO_3H ,

aryl substituted with 0-3 R^{11} , C_{1-5} alkyl substituted
with 0-1 R^{12} , C_{1-5} alkoxy substituted with 0-1 R^{12} , and
a 5-10 membered heterocyclic ring system containing 1-4
heteroatoms independently selected from N, S, and O and
5 substituted with 0-3 R^{11} ;

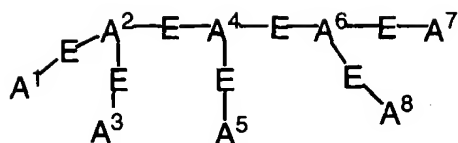
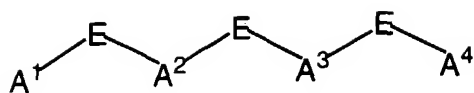
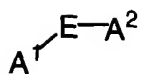
R^{11} is independently selected at each occurrence from the
group: H, aryl substituted with 0-1 R^{12} , a 5-10
membered heterocyclic ring system containing 1-4
10 heteroatoms independently selected from N, S, and O and
substituted with 0-1 R^{12} , C_{3-10} cycloalkyl substituted
with 0-1 R^{12} , polyalkylene glycol substituted with 0-1
 R^{12} , carbohydrate substituted with 0-1 R^{12} , cyclodextrin
substituted with 0-1 R^{12} , amino acid substituted with
15 0-1 R^{12} , polycarboxyalkyl substituted with 0-1 R^{12} ,
polyazaalkyl substituted with 0-1 R^{12} , peptide
substituted with 0-1 R^{12} , wherein the peptide is
comprised of 2-10 amino acids, and a bond to C_h ;

20 R^{12} is a bond to C_h ;

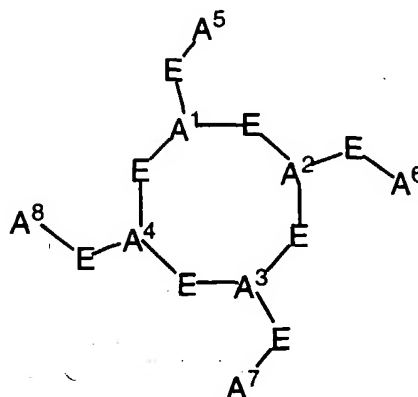
k is selected from 0, 1, and 2;
h is selected from 0, 1, and 2;
h' is selected from 0, 1, 2, 3, 4, and 5;
25 h" is selected from 0, 1, 2, 3, 4, and 5;
g is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
g' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
g" is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
g"' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
30 s is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
s' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
s" is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
t is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
t' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

35

C_h is a metal bonding unit having a formula selected from
the group:



, and



- 5 $A^1, A^2, A^3, A^4, A^5, A^6, A^7,$ and A^8 are independently selected at each occurrence from the group N, NR^{13} , $NR^{13}R^{14}$, S, SH, S(Pg), O, OH, PR^{13} , $PR^{13}R^{14}$, $P(O)R^{15}R^{16}$, and a bond to L_n ;
- 10 E is a bond, CH, or a spacer group independently selected at each occurrence from the group: C_1 - C_{10} alkyl substituted with 0-3 R^{17} , aryl substituted with 0-3 R^{17} , C_3 - C_{10} cycloalkyl substituted with 0-3 R^{17} , heterocyclo- C_1 - C_{10} alkyl substituted with 0-3 R^{17} ,
- 15 wherein the heterocyclo group is a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O, C_6 - C_{10} aryl- C_1 - C_{10} alkyl substituted with 0-3 R^{17} , C_1 - C_{10} alkyl- C_6 - C_{10} aryl- substituted with 0-3 R^{17} , and a 5-10
- 20 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R^{17} ;
- 25 R^{13} , and R^{14} are each independently selected from the group: a bond to L_n , hydrogen, C_1 - C_{10} alkyl substituted with 0-3 R^{17} , aryl substituted with 0-3 R^{17} , C_1 - C_{10} cycloalkyl substituted with 0-3 R^{17} , heterocyclo- C_1 - C_{10} alkyl substituted with 0-3 R^{17} , wherein the heterocyclo group is a 5-10 membered heterocyclic ring system containing

1-4 heteroatoms independently selected from N, S, and O, C₆₋₁₀ aryl-C₁₋₁₀ alkyl substituted with 0-3 R¹⁷, C₁₋₁₀ alkyl-C₆₋₁₀ aryl- substituted with 0-3 R¹⁷, a 5-10 membered heterocyclic ring system containing 1-4

5 heteroatoms independently selected from N, S, and O and substituted with 0-3 R¹⁷, and an electron, provided that when one of R¹³ or R¹⁴ is an electron, then the other is also an electron;

10 alternatively, R¹³ and R¹⁴ combine to form =C(R²⁰)(R²¹);

R¹⁵ and R¹⁶ are each independently selected from the group:
 a bond to L_n, -OH, C_{1-C10} alkyl substituted with 0-3 R¹⁷, C_{1-C10} alkyl substituted with 0-3 R¹⁷, aryl
 15 substituted with 0-3 R¹⁷, C₃₋₁₀ cycloalkyl substituted with 0-3 R¹⁷, heterocyclo-C₁₋₁₀ alkyl substituted with 0-3 R¹⁷, wherein the heterocyclo group is a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O,
 20 C₆₋₁₀ aryl-C₁₋₁₀ alkyl substituted with 0-3 R¹⁷, C₁₋₁₀ alkyl-C₆₋₁₀ aryl- substituted with 0-3 R¹⁷, and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R¹⁷;

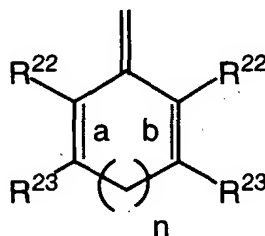
25 R¹⁷ is independently selected at each occurrence from the group: a bond to L_n, =O, F, Cl, Br, I, -CF₃, -CN, -CO₂R¹⁸, -C(=O)R¹⁸, -C(=O)N(R¹⁸)₂, -CHO, -CH₂OR¹⁸, -OC(=O)R¹⁸, -OC(=O)OR^{18a}, -OR¹⁸, -OC(=O)N(R¹⁸)₂,
 30 -NR¹⁹C(=O)R¹⁸, -NR¹⁹C(=O)OR^{18a}, -NR¹⁹C(=O)N(R¹⁸)₂, -NR¹⁹SO₂N(R¹⁸)₂, -NR¹⁹SO₂R^{18a}, -SO₃H, -SO₂R^{18a}, -SR¹⁸, -S(=O)R^{18a}, -SO₂N(R¹⁸)₂, -N(R¹⁸)₂, -NHC(=S)NHR¹⁸, =NOR¹⁸, NO₂, -C(=O)NHOR¹⁸, -C(=O)NHN(R¹⁸)₂, -OCH₂CO₂H, 2-(1-morpholino)ethoxy, C_{1-C5} alkyl, C_{2-C4} alkenyl,
 35 C_{3-C6} cycloalkyl, C_{3-C6} cycloalkylmethyl, C_{2-C6} alkoxyalkyl, aryl substituted with 0-2 R¹⁸, and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O;

R¹⁸, R^{18a}, and R¹⁹ are independently selected at each occurrence from the group: a bond to L_n, H, C₁-C₆ alkyl, phenyl, benzyl, C₁-C₆ alkoxy, halide, nitro, cyano, and trifluoromethyl;

Pg is a thiol protecting group;

R²⁰ and R²¹ are independently selected from the group: H, C₁-C₁₀ alkyl, -CN, -CO₂R²⁵, -C(=O)R²⁵, -C(=O)N(R²⁵)₂, C₂-C₁₀ 1-alkene substituted with 0-3 R²³, C₂-C₁₀ 1-alkyne substituted with 0-3 R²³, aryl substituted with 0-3 R²³, unsaturated 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R²³, and unsaturated C₃-₁₀ carbocycle substituted with 0-3 R²³;

alternatively, R²⁰ and R²¹, taken together with the divalent carbon radical to which they are attached form:



R²² and R²³ are independently selected from the group: H, R²⁴, C₁-C₁₀ alkyl substituted with 0-3 R²⁴, C₂-C₁₀ alkenyl substituted with 0-3 R²⁴, C₂-C₁₀ alkynyl substituted with 0-3 R²⁴, aryl substituted with 0-3 R²⁴, a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R²⁴, and C₃-₁₀ carbocycle substituted with 0-3 R²⁴;

alternatively, R²², R²³ taken together form a fused aromatic or a 5-10 membered heterocyclic ring system containing

1-4 heteroatoms independently selected from N, S, and O;

a and b indicate the positions of optional double bonds and
n is 0 or 1;

R²⁴ is independently selected at each occurrence from the group: =O, F, Cl, Br, I, -CF₃, -CN, -CO₂R²⁵, -C(=O)R²⁵, -C(=O)N(R²⁵)₂, -N(R²⁵)₃⁺, -CH₂OR²⁵, -OC(=O)R²⁵, -OC(=O)OR^{25a}, -OR²⁵, -OC(=O)N(R²⁵)₂, -NR²⁶C(=O)R²⁵, -NR²⁶C(=O)OR^{25a}, -NR²⁶C(=O)N(R²⁵)₂, -NR²⁶SO₂N(R²⁵)₂, -NR²⁶SO₂R^{25a}, -SO₃H, -SO₂R^{25a}, -SR²⁵, -S(=O)R^{25a}, -SO₂N(R²⁵)₂, -N(R²⁵)₂, =NOR²⁵, -C(=O)NHOR²⁵, -OCH₂CO₂H, and 2-(1-morpholino)ethoxy; and,

R²⁵, R^{25a}, and R²⁶ are each independently selected at each occurrence from the group: hydrogen and C₁-C₆ alkyl;

and a pharmaceutically acceptable salt thereof.

4. A compound according to Claim 3, the present invention provides a compound, wherein:

L is glycine;

R¹ is an amino acid, optionally substituted with a bond to L_n, independently selected at each occurrence from the group: L-valine, D-valine, alanine, leucine, isoleucine, norleucine, 2-aminobutyric acid, tyrosine, phenylalanine, phenylglycine, cyclohexylalanine, homophenylalanine, lysine, ornithine, 1,2-diaminobutyric acid, and 1,2-diaminopropionic acid;

R² is an amino acid, optionally substituted with a bond to L_n, independently selected at each occurrence from the group: valine, alanine, leucine, isoleucine, norleucine, 2-aminobutyric acid, tyrosine,

L-phenylalanine, D-phenylalanine, thienylalanine,
phenylglycine, biphenylglycine, cyclohexylalanine,
homophenylalanine, L-1-naphthylalanine,
D-1-naphthylalanine, lysine, ornithine,
5 1,2-diaminobutyric acid, 1,2-diaminopropionic acid, and
2-aminothiazole-4-acetic acid;

R³ is an amino acid, optionally substituted with a bond to
L_n, independently selected at each occurrence from the
10 group: D-valine, D-alanine, D-leucine, D-isoleucine,
D-norleucine, D-2-aminobutyric acid, D-tyrosine,
D-phenylalanine, D-phenylglycine, D-cyclohexylalanine,
D-homophenylalanine, D-lysine, D-serine, D-ornithine,
D-1,2-diaminobutyric acid, and D-1,2-diaminopropionic
15 acid;

R⁴ is an amino acid, optionally substituted with a bond to
L_n, independently selected at each occurrence from the
group: D-valine, D-alanine, D-leucine, D-isoleucine,
20 D-norleucine, D-2-aminobutyric acid, D-tyrosine,
D-phenylalanine, D-thienylalanine, D-phenylglycine,
D-cyclohexylalanine, D-homophenylalanine,
D-1-naphthylalanine, D-lysine, D-ornithine,
D-1,2-diaminobutyric acid, D-1,2-diaminopropionic acid,
25 and 2-aminothiazole-4-acetic acid;

R⁵ is an amino acid, optionally substituted with a bond to
L_n, independently selected at each occurrence from the
group: L-valine, L-alanine, L-leucine, L-isoleucine,
30 L-norleucine, L-2-aminobutyric acid, L-tyrosine,
L-phenylalanine, L-thienylalanine, L-phenylglycine,
L-cyclohexylalanine, L-homophenylalanine,
L-1-naphthylalanine, L-lysine, L-ornithine,
L-1,2-diaminobutyric acid, L-1,2-diaminopropionic acid,
35 and 2-aminothiazole-4-acetic acid;

d is selected from 1, 2, and 3;

W is independently selected at each occurrence from the group: O, NH, NHC(=O), C(=O)NH, C(=O), C(=O)O, OC(=O), NHC(=S)NH, NHC(=O)NH, SO₂, (OCH₂CH₂)_s, (CH₂CH₂O)_{s'}, (OCH₂CH₂CH₂)_{s''}, and (CH₂CH₂CH₂O)_t,

5

Z is selected from the group: aryl substituted with 0-1 R¹⁰, C₃₋₁₀ cycloalkyl substituted with 0-1 R¹⁰, and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-1 R¹⁰;

10

R⁶, R^{6a}, R⁷, R^{7a}, R⁸, R^{8a}, R⁹, and R^{9a} are independently selected at each occurrence from the group: H, =O, COOH, SO₃H, C₁₋₅ alkyl substituted with 0-1 R¹⁰, aryl substituted with 0-1 R¹⁰, benzyl substituted with 0-1 R¹⁰, and C₁₋₅ alkoxy substituted with 0-1 R¹⁰, NHC(=O)R¹¹, C(=O)NHR¹¹, NHC(=O)NHR¹¹, NHR¹¹, R¹¹, and a bond to C_h;

15

20 R¹⁰ is independently selected at each occurrence from the group: COOR¹¹, OH, NHR¹¹, SO₃H, aryl substituted with 0-1 R¹¹, a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-1 R¹¹, C₁₋₅ alkyl substituted with 0-1 R¹², C₁₋₅ alkoxy substituted with 0-1 R¹², and a bond to C_h;

25

R¹¹ is independently selected at each occurrence from the group: H, aryl substituted with 0-1 R¹², a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-1 R¹², polyalkylene glycol substituted with 0-1 R¹², carbohydrate substituted with 0-1 R¹², cyclodextrin substituted with 0-1 R¹², amino acid substituted with 0-1 R¹², and a bond to C_h;

30

35

k is 0 or 1;

h is 0 or 1;

h' is 0 or 1;
s is selected from 0, 1, 2, 3, 4, and 5;
s' is selected from 0, 1, 2, 3, 4, and 5;
s" is selected from 0, 1, 2, 3, 4, and 5;
5 t is selected from 0, 1, 2, 3, 4, and 5;

A¹, A², A³, A⁴, A⁵, A⁶, A⁷, and A⁸ are independently selected
at each occurrence from the group: NR¹³, NR¹³R¹⁴, S,
SH, S(Pg), OH, and a bond to L_n;

10

E is a bond, CH, or a spacer group independently selected at
each occurrence from the group: C₁-C₁₀ alkyl
substituted with 0-3 R¹⁷, aryl substituted with 0-3
R¹⁷, C₃₋₁₀ cycloalkyl substituted with 0-3 R¹⁷, and a
15 5-10 membered heterocyclic ring system containing 1-4
heteroatoms independently selected from N, S, and O and
substituted with 0-3 R¹⁷;

20

R¹³, and R¹⁴ are each independently selected from the group:
a bond to L_n, hydrogen, C₁-C₁₀ alkyl substituted with
0-3 R¹⁷, aryl substituted with 0-3 R¹⁷, a 5-10 membered
heterocyclic ring system containing 1-4 heteroatoms
independently selected from N, S, and O and substituted
with 0-3 R¹⁷, and an electron, provided that when one
25 of R¹³ or R¹⁴ is an electron, then the other is also an
electron;

25

alternatively, R¹³ and R¹⁴ combine to form =C(R²⁰)(R²¹);

30

R¹⁷ is independently selected at each occurrence from the
group: a bond to L_n, =O, F, Cl, Br, I, -CF₃, -CN,
-CO₂R¹⁸, -C(=O)R¹⁸, -C(=O)N(R¹⁸)₂, -CH₂OR¹⁸,
-OC(=O)R¹⁸, -OC(=O)OR^{18a}, -OR¹⁸, -OC(=O)N(R¹⁸)₂,
-NR¹⁹C(=O)R¹⁸, -NR¹⁹C(=O)OR^{18a}, -NR¹⁹C(=O)N(R¹⁸)₂,
35 -NR¹⁹SO₂N(R¹⁸)₂, -NR¹⁹SO₂R^{18a}, -SO₃H, -SO₂R^{18a},
-S(=O)R^{18a}, -SO₂N(R¹⁸)₂, -N(R¹⁸)₂, -NHC(=S)NHR¹⁸,
=NOR¹⁸, -C(=O)NHN(R¹⁸)₂, -OCH₂CO₂H, and
2-(1-morpholino)ethoxy;

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R¹⁸, R^{18a}, and R¹⁹ are independently selected at each occurrence from the group: a bond to L_n, H, and C₁-C₆ alkyl;

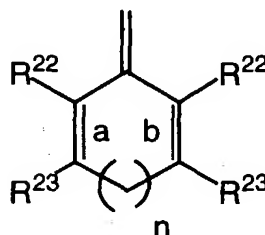
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R²⁰ and R²¹ are independently selected from the group: H, C₁-C₅ alkyl, -CO₂R²⁵, C₂-C₅ 1-alkene substituted with 0-3 R²³, C₂-C₅ 1-alkyne substituted with 0-3 R²³, aryl substituted with 0-3 R²³, and unsaturated 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R²³;

10

alternatively, R²⁰ and R²¹, taken together with the divalent carbon radical to which they are attached form:

15



R²² and R²³ are independently selected from the group: H, and R²⁴;

20

alternatively, R²², R²³ taken together form a fused aromatic or a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O;

25

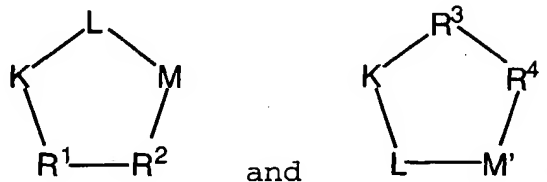
R²⁴ is independently selected at each occurrence from the group: -CO₂R²⁵, -C(=O)N(R²⁵)₂, -CH₂OR²⁵, -OC(=O)R²⁵, -OR²⁵, -SO₃H, -N(R²⁵)₂, and -OCH₂CO₂H; and,

R²⁵ is independently selected at each occurrence from the group: H and C₁-C₃ alkyl.

30

5. A compound according to Claim 4, the present invention provides a compound, wherein:

Q is a peptide selected from the group:



10 R¹ is L-valine, D-valine, D-lysine optionally substituted on the ε amino group with a bond to L_n or L-lysine optionally substituted on the ε amino group with a bond to L_n;

15 R² is L-phenylalanine, D-phenylalanine, D-1-naphthylalanine, 2-aminothiazole-4-acetic acid, L-lysine optionally substituted on the ε amino group with a bond to L_n or tyrosine, the tyrosine optionally substituted on the hydroxy group with a bond to L_n;

20 R³ is D-valine, D-phenylalanine, or L-lysine optionally substituted on the ε amino group with a bond to L_n;

25 R⁴ is D-phenylalanine, D-tyrosine substituted on the hydroxy group with a bond to L_n, or L-lysine optionally substituted on the ε amino group with a bond to L_n;

provided that one of R¹ and R² in each Q is substituted with a bond to L_n, and further provided that when R² is 2-aminothiazole-4-acetic acid, K is N-methylarginine;

30 d is 1 or 2;

W is independently selected at each occurrence from the group: NHC(=O), C(=O)NH, C(=O), (CH₂CH₂O)_s, and (CH₂CH₂CH₂O)_t;

R^6 , R^{6a} , R^7 , R^{7a} , R^8 , R^{8a} , R^9 , and R^{9a} are independently selected at each occurrence from the group: H, $NHC(=O)R^{11}$, and a bond to C_h ;

5 k is 0;

h'' is selected from 0, 1, 2, and 3;

g is selected from 0, 1, 2, 3, 4, and 5;

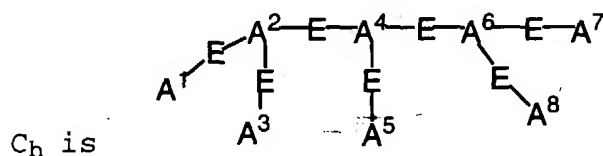
g' is selected from 0, 1, 2, 3, 4, and 5;

g'' is selected from 0, 1, 2, 3, 4, and 5;

10 g''' is selected from 0, 1, 2, 3, 4, and 5;

s' is 1 or 2;

t is 1 or 2;



15

A^1 is selected from the group: OH, and a bond to L_n ;

A^2 , A^4 , and A^6 are each N;

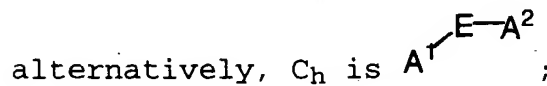
20 A^3 , A^5 , and A^8 are each OH;

A^7 is a bond to L_n or NH-bond to L_n ;

E is a C_2 alkyl substituted with 0-1 R^{17} ;

25

R^{17} is =O;



30 A^1 is NH_2 or $N=C(R^{20})(R^{21})$;

E is a bond;

A^2 is NHR^{13} ;

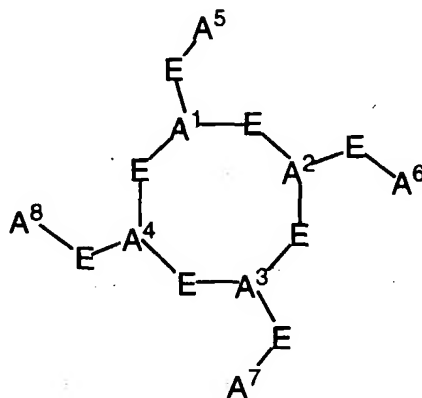
R¹³ is a heterocycle substituted with R¹⁷, the heterocycle being selected from pyridine and pyrimidine;

5 R¹⁷ is selected from a bond to L_n, C(=O)NHR¹⁸, and C(=O)R¹⁸;

R¹⁸ is a bond to L_n;

10 R²⁴ is selected from the group: -CO₂R²⁵, -OR²⁵, -SO₃H, and -N(R²⁵)₂;

R²⁵ is independently selected at each occurrence from the group: hydrogen and methyl;



15 alternatively, C_h is

A¹, A², A³, and A⁴ are each N;

20 A⁵, A⁶, and A⁸ are each OH;

A⁷ is a bond to L_n;

E is a C₂ alkyl substituted with 0-1 R¹⁷; and,

25 R¹⁷ is =O.

6. A compound according to Claim 3, the present invention provides a compound selected from the group:

30

- (a) cyclo{Arg-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-Val};
- 5 (b) cyclo{Arg-Gly-Asp-D-Tyr((N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-18-amino-14-aza-4,7,10-oxy-15-oxo-octadecoyl)-3-aminopropyl)-Val};
- 10 (c) [2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Glu(cyclo{D-Tyr(3-aminopropyl)-Val-Arg-Gly-Asp})-cyclo{D-Tyr(3-aminopropyl)-Val-Arg-Gly-Asp};
- 15 (d) cyclo{Arg-Gly-Asp-D-Tyr-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid])});
- (e) cyclo{Arg-Gly-Asp-D-Phe-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid])});
- 20 (f) [2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Glu(cyclo{Lys-Arg-Gly-Asp-D-Phe})-cyclo{Lys-Arg-Gly-Asp-D-Phe};
- 25 (g) [2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Phe-Glu(cyclo{Lys-Arg-Gly-Asp-D-Phe})-cyclo{Lys-Arg-Gly-Asp-D-Phe};
- (h) cyclo{Arg-Gly-Asp-D-Nal-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid])});
- 30 (i) [2-[[[5-[carbonyl]-2-pyridinyl]-hydrazono]methyl]-benzenesulfonic acid]-Glu(cyclo{Lys-Arg-Gly-Asp-D-Nal})-cyclo{Lys-Arg-Gly-Asp-D-Nal};
- 35 (j) cyclo{Arg-Gly-Asp-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid])-D-Val} ;

- (k) [2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Glu(cyclo{Lys-D-Val-Arg-Gly-Asp})-cyclo{Lys-D-Val-Arg-Gly-Asp};
- 5 (l) {cyclo(Arg-D-Val-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-D-Asp-Gly};
- 10 (m) cyclo{D-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid))-D-Phe-D-Asp-Gly-Arg};
- (n) [2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Glu(cyclo{D-Lys-D-Phe-D-Asp-Gly-Arg})-cyclo{D-Lys-D-Phe-D-Asp-Gly-Arg};
- 15 (o) cyclo{D-Phe-D-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid))-D-Asp-Gly-Arg};
- 20 (p) cyclo{N-Me-Arg-Gly-Asp-ATA-D-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid])});
- (q) cyclo{Cit-Gly-Asp-D-Phe-Lys([2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid])});
- 25 (r) 2-(1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)-1-cyclododecyl)acetyl-Glu(cyclo{Lys-Arg-Gly-Asp-D-Phe})-cyclo{Lys-Arg-Gly-Asp-D-Phe};
- 30 (s) cyclo{Arg-Gly-Asp-D-Phe-Lys(DTPA)};
- (t) cyclo{Arg-Gly-Asp-D-Phe-Lys}₂(DTPA);
- 35 (u) Cyclo{Arg-Gly-Asp-D-Tyr(N-DTPA-3-aminopropyl)-Val};

- (v) cyclo{Orn(d-N-2-Imidazoliny1)-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-Val}};
- 5 (w) cyclo{Lys-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-Val}};
- 10 (x) cyclo{Cys(2-aminoethyl)-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-Val}};
- 15 (y) cyclo{HomoLys-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-Val}};
- 20 (z) cyclo{Orn(d-N-Benzylcarbamoyl)-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-Val}};
- (aa) cyclo{Dap(b-(2-benzimidazolylacetyl))-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-Val}};
- 25 (bb) cyclo{Orn(d-N-2-Imidazoliny1)-Gly-Asp-D-Phe-Lys(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]]}};
- 30 (cc) cyclo{Orn(d-N-Benzylcarbamoyl)-Gly-Asp-D-Phe-Lys(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]]}};
- 35 (dd) cyclo{Lys-D-Val-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridiny1]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-D-Asp-Gly}};

(ee) cyclo{Orn(d-N-Benzylcarbamoyl)-D-Val-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-D-Asp-Gly}); and,

5 (ff) cyclo{Orn(d-N-2-Imidazoliny1)-D-Val-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-3-aminopropyl)-D-Asp-Gly});

or a pharmaceutically acceptable salt form thereof.

10

7. A kit comprising a compound of Claim 3, or a pharmaceutically acceptable salt form thereof and a pharmaceutically acceptable carrier.

15

8. A kit according to Claim 7, wherein the kit further comprises one or more ancillary ligands and a reducing agent.

20

9. A kit according to Claim 8, wherein the ancillary ligands are tricine and TPPTS.

25

10. A kit according to Claim 9, wherein the reducing agent is tin(II).

30

11. A diagnostic or therapeutic metallopharmaceutical composition, comprising: a metal, a chelator capable of chelating the metal and a targeting moiety, wherein the targeting moiety is bound to the chelator, is a peptide or peptidomimetic and binds to a receptor that is upregulated during angiogenesis and the compound has 0-1 linking groups between the targeting moiety and chelator.

35

12. A composition according to Claim 11, wherein the metallopharmaceutical is a diagnostic radiopharmaceutical, the metal is a radioisotope selected from the group: ^{99m}Tc , ^{95}Tc , ^{111}In , ^{62}Cu , ^{64}Cu , ^{67}Ga , and ^{68}Ga , the targeting moiety is a peptide or a mimetic thereof and the receptor is selected from the group: EGFR, FGFR, PDGFR, Flk-1/KDR, Flt-1, Tek, Tie, neuropilin-1, endoglin, endosialin, Axl, $\alpha_v\beta_3$, $\alpha_v\beta_5$, $\alpha_5\beta_1$, $\alpha_4\beta_1$, $\alpha_1\beta_1$, and $\alpha_2\beta_2$ and the linking group is present between the targeting moiety and chelator.

13. A composition according to Claim 12, wherein the targeting moiety is a cyclic pentapeptide and the receptor is $\alpha_v\beta_3$.

14. A composition according to Claim 13, wherein the radioisotope is ^{99m}Tc or ^{95}Tc , the radiopharmaceutical further comprises a first ancillary ligand and a second ancillary ligand capable of stabilizing the radiopharmaceutical.

15. A composition according to Claim 14, wherein the radioisotope is ^{99m}Tc .

16. A composition according to Claim 15, wherein the radiopharmaceutical is selected from the group:

$^{99m}\text{Tc}(\text{tricine})(\text{TPPTS})(\text{cyclo}(\text{Arg-Gly-Asp-D-Tyr}(\text{N}-[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{diazenido}]-3\text{-aminopropyl})-\text{Val}));$

$^{99m}\text{Tc}(\text{tricine})(\text{TPPMS})(\text{cyclo}(\text{Arg-D-Val-D-Tyr}(\text{N}-[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{diazenido}]-3\text{-aminopropyl})-\text{D-Asp-Gly}));$

$^{99m}\text{Tc}(\text{tricine})(\text{TPPDS})(\text{cyclo}(\text{Arg-D-Val-D-Tyr}(\text{N}-[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{diazenido}]-3\text{-aminopropyl})-\text{D-Asp-Gly}));$

^{99m}Tc(tricine)(TPPTS)(cyclo(Arg-D-Val-D-Tyr(N-[[5-[carbonyl]-
2-pyridinyl]diazenido]-3-aminopropyl)-D-Asp-Gly));

5 ^{99m}Tc(tricine)(TPPTS)(cyclo(Arg-Gly-Asp-D-Phe-Lys(N-[[5-
[carbonyl]-2-pyridinyl]diazenido))));

^{99m}Tc(tricine)(TPPTS)(cyclo(Arg-Gly-Asp-D-Tyr-Lys(N-[[5-
[carbonyl]-2-pyridinyl]diazenido))));

10 ^{99m}Tc(tricine)(TPPTS)([2-[[[5-[carbonyl]-2-
pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Phe-
Glu(cyclo{Lys-Arg-Gly-Asp-D-Phe})-cyclo{Lys-Arg-Gly-
Asp-D-Phe}));

15 ^{99m}Tc(tricine)(TPPTS)(cyclo{Arg-Gly-Asp-D-Nal-Lys([2-[[[5-
[carbonyl]-2-pyridinyl]hydrazono]methyl]-
benzenesulfonic acid])));

20 ^{99m}Tc(tricine)(TPPTS)([2-[[[5-[carbonyl]-2-pyridinyl]-
hydrazono]methyl]-benzenesulfonic acid]-Glu(cyclo{Lys-
Arg-Gly-Asp-D-Nal})-cyclo{Lys-Arg-Gly-Asp-D-Nal});

25 ^{99m}Tc(tricine)(TPPTS)(cyclo(Arg-Gly-Asp-D-Tyr((N-[[5-
[carbonyl]-2-pyridinyl]diazenido]-18-amino-14-aza-
4,7,10-oxo-15-oxo-octadecoyl)-3-aminopropyl)-Val));

30 ^{99m}Tc(tricine)(TPPTS)(N-[[5-[carbonyl]-2-
pyridinyl]diazenido]-Glu(O-cyclo(Lys-Arg-Gly-Asp-D-
Phe))-O-cyclo(Lys-Arg-Gly-Asp-D-Phe));

35 ^{99m}Tc(tricine)(TPPTS)(N-[[5-[carbonyl]-2-
pyridinyl]diazenido]-Glu(O-cyclo(D-Tyr(3-aminopropyl)-
Val-Arg-Gly-Asp))-O-cyclo(D-Tyr(3-aminopropyl)-Val-Arg-
Gly-Asp));

^{99m}Tc(tricine)(TPPTS)(cyclo(Arg-Gly-Asp-Lys(N-[[5-[carbonyl]-
2-pyridinyl]diazenido))-D-Val));

$^{99m}\text{Tc}(\text{tricine})(\text{TPPTS})(\text{cyclo}\{\text{D-Lys}([2-[[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{hydrazono}]\text{methyl}]-\text{benzenesulfonic acid}])-\text{D-Phe-D-Asp-Gly-Arg})\});$

5

$^{99m}\text{Tc}(\text{tricine})(\text{TPPTS})([2-[[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{hydrazono}]\text{methyl}]-\text{benzenesulfonic acid}]-\text{Glu}(\text{cyclo}\{\text{D-Lys-D-Phe-D-Asp-Gly-Arg}\})-\text{cyclo}\{\text{D-Lys-D-Phe-D-Asp-Gly-Arg}\});$

10

$^{99m}\text{Tc}(\text{tricine})(\text{TPPTS})(\text{cyclo}\{\text{D-Phe-D-Lys}([2-[[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{hydrazono}]\text{methyl}]-\text{benzenesulfonic acid}])-\text{D-Asp-Gly-Arg})\});$

15

$^{99m}\text{Tc}(\text{tricine})(\text{TPPTS})(\text{cyclo}(\text{N-Me-Arg-Gly-Asp-ATA-D-Lys}(\text{N}-[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{diazenido}]]));$

$^{99m}\text{Tc}(\text{tricine})(\text{TPPTS})(\text{cyclo}\{\text{Cit-Gly-Asp-D-Phe-Lys}([2-[[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{hydrazono}]\text{methyl}]-\text{benzenesulfonic acid}]]));$ and,

20

$^{99m}\text{Tc}(\text{tricine})(1,2,4\text{-triazole})(\text{cyclo}(\text{Arg-Gly-Asp-D-Tyr}(\text{N}-[[5-[\text{carbonyl}]-2\text{-pyridinyl}]\text{diazenido}]-3\text{-aminopropyl})-\text{Val})).$

25

17. A composition according to Claim 13, wherein the radioisotope is ^{111}In .

30

18. A composition according to Claim 17, wherein the radiopharmaceutical is selected from the group:

$(\text{DOTA-}^{111}\text{In})-\text{Glu}(\text{cyclo}\{\text{Lys-Arg-Gly-Asp-D-Phe}\})-\text{cyclo}\{\text{Lys-Arg-Gly-Asp-D-Phe}\};$

35

$\text{cyclo}(\text{Arg-Gly-Asp-D-Phe-Lys}(\text{DTPA-}^{111}\text{In}));$ and,

$\text{cyclo}(\text{Arg-Gly-Asp-D-Phe-Lys})_2(\text{DTPA-}^{111}\text{In}).$

19. A composition according to Claim 11, wherein the metallopharmaceutical is a therapeutic radiopharmaceutical, the metal is a radioisotope selected from the group: ^{33}P , ^{125}I , ^{186}Re , ^{188}Re , ^{153}Sm , ^{166}Ho , ^{177}Lu , ^{149}Pm , ^{90}Y , ^{212}Bi , ^{103}Pd , ^{109}Pd , ^{159}Gd , ^{140}La , ^{198}Au , ^{199}Au , ^{169}Yb , ^{175}Yb , ^{165}Dy , ^{166}Dy , ^{67}Cu , ^{105}Rh , ^{111}Ag , and ^{192}Ir , the targeting moiety is a peptide or a mimetic thereof and the receptor is selected from the group: EGFR, FGFR, PDGFR, Flk-1/KDR, Flt-1, Tek, Tie, neuropilin-1, endoglin, endosialin, Axl, $\alpha_v\beta_3$, $\alpha_v\beta_5$, $\alpha_5\beta_1$, $\alpha_4\beta_1$, $\alpha_1\beta_1$, and $\alpha_2\beta_2$ and the linking group is present between the targeting moiety and chelator.

20. A composition according to Claim 19, wherein the targeting moiety is a cyclic pentapeptide and the receptor is $\alpha_v\beta_3$.

21. A composition according to Claim 20, wherein the radioisotope is ^{153}Sm .

22. A composition according to Claim 21, wherein the radiopharmaceutical is selected from the group:

cyclo(Arg-Gly-Asp-D-Phe-Lys(DTPA- ^{153}Sm));
cyclo(Arg-Gly-Asp-D-Phe-Lys) $_2$ (DTPA- ^{153}Sm); and,
cyclo(Arg-Gly-Asp-D-Tyr(N-DTPA(^{153}Sm)-3-aminopropyl)-Val).

23. A composition according to Claim 20, wherein the radioisotope is ^{177}Lu .

24. A composition according to Claim 23, wherein the radiopharmaceutical is selected from the group:

cyclo(Arg-Gly-Asp-D-Phe-Lys(DTPA-¹⁷⁷Lu));

(DOTA-¹⁷⁷Lu)-Glu(cyclo{Lys-Arg-Gly-Asp-D-Phe})-cyclo{Lys-Arg-Gly-Asp-D-Phe};

cyclo(Arg-Gly-Asp-D-Phe-Lys)₂(DTPA-¹⁷⁷Lu); and,

cyclo(Arg-Gly-Asp-D-Tyr(N-DTPA(¹⁷⁷Lu)-3-aminopropyl)-Val).

25. A composition according to Claim 20, wherein the radioisotope is ⁹⁰Y.

26. A composition according to Claim 25, wherein the radiopharmaceutical is:

(DOTA-⁹⁰Y)-Glu(cyclo{Lys-Arg-Gly-Asp-D-Phe})-cyclo{Lys-Arg-Gly-Asp-D-Phe};

27. A composition according to Claim 11, wherein the metallopharmaceutical is a MRI contrast agent, the metal is a paramagnetic metal ion selected from the group: Gd(III), Dy(III), Fe(III), and Mn(II), the targeting moiety is a peptide or a mimetic thereof and the receptor is selected from the group: EGFR, FGFR, PDGFR, Flk-1/KDR, Flt-1, Tek, Tie, neuropilin-1, endoglin, endosialin, Axl, $\alpha_v\beta_3$, $\alpha_v\beta_5$, $\alpha_5\beta_1$, $\alpha_4\beta_1$, $\alpha_1\beta_1$, and $\alpha_2\beta_2$ and the linking group is present between the targeting moiety and chelator.

28. A composition according to Claim 27, wherein the targeting moiety is a cyclic pentapeptide and the receptor is $\alpha_v\beta_3$.

29. A composition according to Claim 28, wherein the metal ion is Gd(III).

5

30. A composition according to Claim 29, wherein the contrast agent is:

10 cyclo(Arg-Gly-Asp-D-Tyr(N-DTPA(Gd(III)))-3-aminopropyl)-Val).

31. A composition according to Claim 11, wherein the metallopharmaceutical is a X-ray contrast agent, the metal is selected from the group: Re, Sm, Ho, Lu, Pm, Y, Bi, Pd, Gd, La, Au, Au, Yb, Dy, Cu, Rh, Ag, and Ir, the targeting moiety is a cyclic pentapeptide, the receptor is $\alpha_v\beta_3$, and the linking group is present between the targeting moiety and chelator.

20

32. A composition of Claim 11 which is for use in treating rheumatoid arthritis.

25

33. A composition of Claim 11 which is for use in treating cancer.

30

34. A composition of Claim 11 which is for use in imaging the formation of new blood vessels.

35

35. A composition of Claim 12 which is for use in imaging cancer with planar or SPECT gamma scintigraphy, or positron emission tomography.

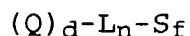
36. A composition of Claim 27 which is for use in imaging cancer with magnetic resonance imaging.

5 37. A composition of Claim 31 which is for use in imaging cancer with X-ray computed tomography.

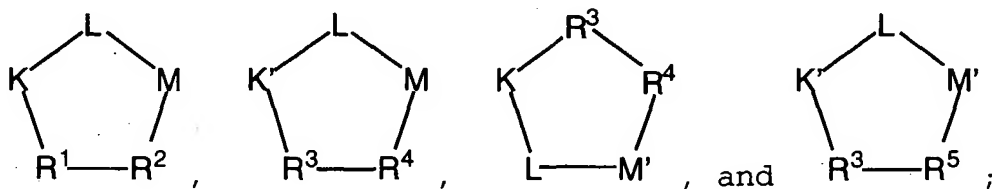
10 38. A compound, comprising: a targeting moiety and a surfactant, wherein the targeting moiety is bound to the surfactant, is a peptide or peptidomimetic, and binds to a receptor that is upregulated during angiogenesis and the compound has 0-1 linking groups between the targeting moiety and surfactant.

15 39. A compound according to Claim 38, wherein the targeting moiety is a peptide or a mimetic thereof and the receptor is selected from the group: EGFR, FGFR, PDGFR, Flk-1/KDR, Flt-1, Tek, Tie, neuropilin-1, endoglin, 20 endosialin, Axl, $\alpha_v\beta_3$, $\alpha_v\beta_5$, $\alpha_5\beta_1$, $\alpha_4\beta_1$, $\alpha_1\beta_1$, and $\alpha_2\beta_2$ and the linking group is present between the targeting moiety and surfactant.

25 40. A compound according to Claim 39, wherein the receptor is the integrin $\alpha_v\beta_3$ and the compound is of the formula:



30 wherein, Q is a cyclic pentapeptide independently selected from the group:



K is an L-amino acid independently selected at each occurrence from the group: arginine, citrulline, N-methylarginine, lysine, homolysine, 2-aminoethylcysteine, δ -N-2-imidazolinylnornithine, δ -N-benzylcarbamoylnornithine, and β -2-benzimidazolylacetyl-1,2-diaminopropionic acid;

K' is a D-amino acid independently selected at each occurrence from the group: arginine, citrulline, N-methylarginine, lysine, homolysine, 2-aminoethylcysteine, δ -N-2-imidazolinylnornithine, δ -N-benzylcarbamoylnornithine, and β -2-benzimidazolylacetyl-1,2-diaminopropionic acid;

L is independently selected at each occurrence from the group: glycine, L-alanine, and D-alanine;

M is L-aspartic acid;

M' is D-aspartic acid;

R¹ is an amino acid substituted with 0-1 bonds to L_n, independently selected at each occurrence from the group: glycine, L-valine, D-valine, alanine, leucine, isoleucine, norleucine, 2-aminobutyric acid, 2-aminohexanoic acid, tyrosine, phenylalanine, thienylalanine, phenylglycine, cyclohexylalanine, homophenylalanine, 1-naphthylalanine, lysine, serine, ornithine, 1,2-diaminobutyric acid, 1,2-diaminopropionic acid, cysteine, penicillamine, and methionine;

R² is an amino acid, substituted with 0-1 bonds to L_n, independently selected at each occurrence from the group: glycine, valine, alanine, leucine, isoleucine, norleucine, 2-aminobutyric acid, 2-aminohexanoic acid, tyrosine, L-phenylalanine, D-phenylalanine, thienylalanine, phenylglycine, biphenylglycine,

cyclohexylalanine, homophenylalanine,
L-1-naphthylalanine, D-1-naphthylalanine, lysine,
serine, ornithine, 1,2-diaminobutyric acid,
1,2-diaminopropionic acid, cysteine, penicillamine,
5 methionine, and 2-aminothiazole-4-acetic acid;

R^3 is an amino acid, substituted with 0-1 bonds to L_n ,
independently selected at each occurrence from the
group: glycine, D-valine, D-alanine, D-leucine,
10 D-isoleucine, D-norleucine, D-2-aminobutyric acid,
D-2-aminohexanoic acid, D-tyrosine, D-phenylalanine,
D-thienylalanine, D-phenylglycine, D-cyclohexylalanine,
D-homophenylalanine, D-1-naphthylalanine, D-lysine,
D-serine, D-ornithine, D-1,2-diaminobutyric acid,
15 D-1,2-diaminopropionic acid, D-cysteine,
D-penicillamine, and D-methionine;

R^4 is an amino acid, substituted with 0-1 bonds to L_n ,
independently selected at each occurrence from the
group: glycine, D-valine, D-alanine, D-leucine,
20 D-isoleucine, D-norleucine, D-2-aminobutyric acid,
D-2-aminohexanoic acid, D-tyrosine, D-phenylalanine,
D-thienylalanine, D-phenylglycine, D-cyclohexylalanine,
D-homophenylalanine, D-1-naphthylalanine, D-lysine,
25 D-serine, D-ornithine, D-1,2-diaminobutyric acid,
D-1,2-diaminopropionic acid, D-cysteine,
D-penicillamine, D-methionine, and
2-aminothiazole-4-acetic acid;

30 R^5 is an amino acid, substituted with 0-1 bonds to L_n ,
independently selected at each occurrence from the
group: glycine, L-valine, L-alanine, L-leucine,
L-isoleucine, L-norleucine, L-2-aminobutyric acid,
L-2-aminohexanoic acid, L-tyrosine, L-phenylalanine,
35 L-thienylalanine, L-phenylglycine, L-cyclohexylalanine,
L-homophenylalanine, L-1-naphthylalanine, L-lysine,
L-serine, L-ornithine, L-1,2-diaminobutyric acid,
L-1,2-diaminopropionic acid, L-cysteine,

L-penicillamine, L-methionine, and
2-aminothiazole-4-acetic acid;

5 provided that one of R^1 , R^2 , R^3 , R^4 , and R^5 in each Q is
substituted with a bond to L_n , further provided that
when R^2 is 2-aminothiazole-4-acetic acid, K is
N-methylarginine, further provided that when R^4 is
2-aminothiazole-4-acetic acid, K and K' are
N-methylarginine, and still further provided that when
10 R^5 is 2-aminothiazole-4-acetic acid, K' is
N-methylarginine;

d is selected from 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

15 S_f is a surfactant which is a lipid or a compound of the
formula: $A^9 E^1 A^{10}$;

A^9 is selected from the group: OH and OR^{27} ;

20 A^{10} is OR^{27} ;

R^{27} is $C(=O)C_{1-20}$ alkyl;

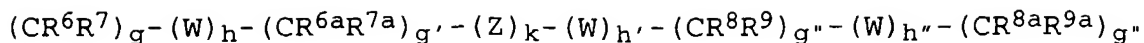
E^1 is C_{1-10} alkylene substituted with 1-3 R^{28} ;

25 R^{28} is independently selected at each occurrence from the
group: R^{30} , $-PO_3H-R^{30}$, $=O$, $-CO_2R^{29}$, $-C(=O)R^{29}$,
 $-C(=O)N(R^{29})_2$, $-CH_2OR^{29}$, $-OR^{29}$, $-N(R^{29})_2$, C_1-C_5 alkyl,
and C_2-C_4 alkenyl;

30 R^{29} is independently selected at each occurrence from the
group: R^{30} , H, C_1-C_6 alkyl, phenyl, benzyl, and
trifluoromethyl;

35 R^{30} is a bond to L_n ;

L_n is a linking group having the formula:



5. W is independently selected at each occurrence from the group: O, S, NH, NHC(=O), C(=O)NH, C(=O), C(=O)O, OC(=O), NHC(=S)NH, NHC(=O)NH, SO₂, (OCH₂CH₂)₂₀₋₂₀₀, (CH₂CH₂O)₂₀₋₂₀₀, (OCH₂CH₂CH₂)₂₀₋₂₀₀, (CH₂CH₂CH₂O)₂₀₋₂₀₀, and (aa)_t;

10

aa is independently at each occurrence an amino acid;

- Z is selected from the group: aryl substituted with 0-3 R¹⁰, C₃₋₁₀ cycloalkyl substituted with 0-3 R¹⁰, and a
15 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R¹⁰;

- R⁶, R^{6a}, R⁷, R^{7a}, R⁸, R^{8a}, R⁹ and R^{9a} are independently
20 selected at each occurrence from the group: H, =O, COOH, SO₃H, PO₃H, C₁₋₅ alkyl substituted with 0-3 R¹⁰, aryl substituted with 0-3 R¹⁰, benzyl substituted with 0-3 R¹⁰, and C₁₋₅ alkoxy substituted with 0-3 R¹⁰, NHC(=O)R¹¹, C(=O)NHR¹¹, NHC(=O)NHR¹¹, NHR¹¹, R¹¹, and a
25 bond to S_f;

- R¹⁰ is independently selected at each occurrence from the group: a bond to S_f, COOR¹¹, OH, NHR¹¹, SO₃H, PO₃H, aryl substituted with 0-3 R¹¹, C₁₋₅ alkyl substituted
30 with 0-1 R¹², C₁₋₅ alkoxy substituted with 0-1 R¹², and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R¹¹;

- 35 R¹¹ is independently selected at each occurrence from the group: H, aryl substituted with 0-1 R¹², a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and

substituted with 0-1 R¹², C₃₋₁₀ cycloalkyl substituted with 0-1 R¹², amino acid substituted with 0-1 R¹², and a bond to S_f;

5 R¹² is a bond to S_f;

k is selected from 0, 1, and 2;

h is selected from 0, 1, and 2;

h' is selected from 0, 1, 2, 3, 4, and 5;

10 h'' is selected from 0, 1, 2, 3, 4, and 5;

g is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

g' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

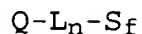
g'' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

g''' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

15 t' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

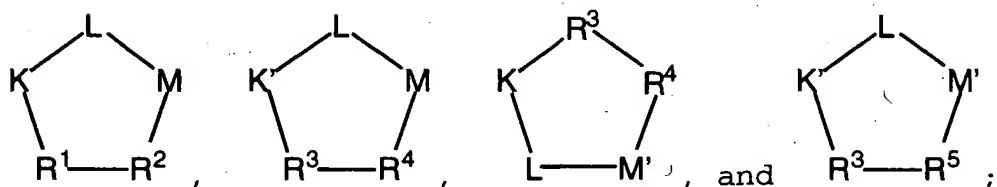
and a pharmaceutically acceptable salt thereof.

20 41. A compound according to Claim 40, wherein the compound is of the formula:



wherein, Q is a cyclic pentapeptide independently selected from the group:

25



K is an L-amino acid independently selected at each occurrence from the group: arginine, citrulline, N-methylarginine, lysine, homolysine, 2-aminoethylcysteine, δ -N-2-imidazolinylnornithine, δ -N-benzylcarbamoylnornithine, and β -2-benzimidazolylacetyl-1,2-diaminopropionic acid;

35

K' is a D-amino acid independently selected at each
 occurrence from the group: arginine, citrulline,
 N-methylarginine, lysine, homolysine,
 2-aminoethylcysteine, δ -N-2-imidazolinylnornithine,
 5 δ -N-benzylcarbamoynornithine, and
 β -2-benzimidazolylacetyl-1,2-diaminopropionic acid;

L is independently selected at each occurrence from the
 group: glycine, L-alanine, and D-alanine;

10 M is L-aspartic acid;

M' is D-aspartic acid;

15 R¹ is an amino acid substituted with 0-1 bonds to L_n,
 independently selected at each occurrence from the
 group: glycine, L-valine, D-valine, alanine, leucine,
 isoleucine, norleucine, 2-aminobutyric acid,
 2-aminohexanoic acid, tyrosine, phenylalanine,
 20 thienylalanine, phenylglycine, cyclohexylalanine,
 homophenylalanine, 1-naphthylalanine, lysine, serine,
 ornithine, 1,2-diaminobutyric acid,
 1,2-diaminopropionic acid, cysteine, penicillamine, and
 methionine;

25 R² is an amino acid, substituted with 0-1 bonds to L_n,
 independently selected at each occurrence from the
 group: glycine, valine, alanine, leucine, isoleucine,
 norleucine, 2-aminobutyric acid, 2-aminohexanoic acid,
 30 tyrosine, L-phenylalanine, D-phenylalanine,
 thienylalanine, phenylglycine, biphenylglycine,
 cyclohexylalanine, homophenylalanine,
 L-1-naphthylalanine, D-1-naphthylalanine, lysine,
 serine, ornithine, 1,2-diaminobutyric acid,
 35 1,2-diaminopropionic acid, cysteine, penicillamine,
 methionine, and 2-aminothiazole-4-acetic acid;

R³ is an amino acid, substituted with 0-1 bonds to L_n,
independently selected at each occurrence from the
group: glycine, D-valine, D-alanine, D-leucine,
D-isoleucine, D-norleucine, D-2-aminobutyric acid,
5 D-2-aminohexanoic acid, D-tyrosine, D-phenylalanine,
D-thienylalanine, D-phenylglycine, D-cyclohexylalanine,
D-homophenylalanine, D-1-naphthylalanine, D-lysine,
D-serine, D-ornithine, D-1,2-diaminobutyric acid,
D-1,2-diaminopropionic acid, D-cysteine,
10 D-penicillamine, and D-methionine;

R⁴ is an amino acid, substituted with 0-1 bonds to L_n,
independently selected at each occurrence from the
group: glycine, D-valine, D-alanine, D-leucine,
15 D-isoleucine, D-norleucine, D-2-aminobutyric acid,
D-2-aminohexanoic acid, D-tyrosine, D-phenylalanine,
D-thienylalanine, D-phenylglycine, D-cyclohexylalanine,
D-homophenylalanine, D-1-naphthylalanine, D-lysine,
D-serine, D-ornithine, D-1,2-diaminobutyric acid,
20 D-1,2-diaminopropionic acid, D-cysteine,
D-penicillamine, D-methionine, and
2-aminothiazole-4-acetic acid;

R⁵ is an amino acid, substituted with 0-1 bonds to L_n,
25 independently selected at each occurrence from the
group: glycine, L-valine, L-alanine, L-leucine,
L-isoleucine, L-norleucine, L-2-aminobutyric acid,
L-2-aminohexanoic acid, L-tyrosine, L-phenylalanine,
L-thienylalanine, L-phenylglycine, L-cyclohexylalanine,
30 L-homophenylalanine, L-1-naphthylalanine, L-lysine,
L-serine, L-ornithine, L-1,2-diaminobutyric acid,
L-1,2-diaminopropionic acid, L-cysteine,
L-penicillamine, L-methionine, and
2-aminothiazole-4-acetic acid;

35

provided that one of R¹, R², R³, R⁴, and R⁵ in each Q is
substituted with a bond to L_n, further provided that
when R² is 2-aminothiazole-4-acetic acid, K is

N-methylarginine, further provided that when R^4 is 2-aminothiazole-4-acetic acid, K and K' are N-methylarginine, and still further provided that when R^5 is 2-aminothiazole-4-acetic acid, K' is N-methylarginine;

S_f is a surfactant which is a lipid or a compound of the

formula: $A^9 \overset{E^1}{\text{---}} A^{10}$;

10 A^9 is OR^{27} ;

A^{10} is OR^{27} ;

R^{27} is $C(=O)C_{1-15}$ alkyl;

15

E^1 is C_{1-4} alkylene substituted with 1-3 R^{28} ;

R^{28} is independently selected at each occurrence from the group: R^{30} , $-PO_3H-R^{30}$, $=O$, $-CO_2R^{29}$, $-C(=O)R^{29}$, $-CH_2OR^{29}$, $-OR^{29}$, and C_1-C_5 alkyl;

20

R^{29} is independently selected at each occurrence from the group: R^{30} , H, C_1-C_6 alkyl, phenyl, and benzyl;

25 R^{30} is a bond to L_n ;

L_n is a linking group having the formula:

$(CR^6R^7)_g - (W)_h - (CR^{6a}R^{7a})_{g'} - (Z)_k - (W)_{h'} - (CR^8R^9)_g - (W)_{h''} - (CR^{8a}R^{9a})_{g''}$

30

W is independently selected at each occurrence from the group: O, S, NH, $NHC(=O)$, $C(=O)NH$, $C(=O)$, $C(=O)O$, $OC(=O)$, $NHC(=S)NH$, $NHC(=O)NH$, SO_2 , $(OCH_2CH_2)_{20-200}$, $(CH_2CH_2O)_{20-200}$, $(OCH_2CH_2CH_2)_{20-200}$, $(CH_2CH_2CH_2O)_{20-200}$, and $(aa)_t$;

35

aa is independently at each occurrence an amino acid;

Z is selected from the group: aryl substituted with 0-3 R^{10} , C_{3-10} cycloalkyl substituted with 0-3 R^{10} , and a
5 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R^{10} ;

R^6 , R^{6a} , R^7 , R^{7a} , R^8 , R^{8a} , R^9 and R^{9a} are independently
10 selected at each occurrence from the group: H, =O, C_1-C_5 alkyl substituted with 0-3 R^{10} , and C_1-C_5 alkoxy substituted with 0-3 R^{10} , and a bond to S_f ;

R^{10} is independently selected at each occurrence from the
15 group: a bond to S_f , $COOR^{11}$, OH, NHR^{11} , C_1-5 alkyl substituted with 0-1 R^{12} , and C_1-5 alkoxy substituted with 0-1 R^{12} ;

R^{11} is independently selected at each occurrence from the
20 group: H, aryl substituted with 0-1 R^{12} , C_{3-10} cycloalkyl substituted with 0-1 R^{12} , amino acid substituted with 0-1 R^{12} , and a bond to S_f ;

R^{12} is a bond to S_f ;

25

k is selected from 0, 1, and 2;

h is selected from 0, 1, and 2;

h' is selected from 0, 1, 2, 3, 4, and 5;

h'' is selected from 0, 1, 2, 3, 4, and 5;

30 g is selected from 0, 1, 2, 3, 4, and 5;

g' is selected from 0, 1, 2, 3, 4, and 5;

g'' is selected from 0, 1, 2, 3, 4, and 5;

g''' is selected from 0, 1, 2, 3, 4, and 5;

s is selected from 0, 1, 2, 3, 4, and 5;

35 s' is selected from 0, 1, 2, 3, 4, and 5;

s'' is selected from 0, 1, 2, 3, 4, and 5;

t is selected from 0, 1, 2, 3, 4, and 5;

t' is selected from 0, 1, 2, 3, 4, and 5;

and a pharmaceutically acceptable salt thereof.

5 42. A compound according to Claim 41, wherein the present invention provides a compound selected from the group:

10 1-(1,2-Dipalmitoyl-sn-glycero-3-phosphoethanolamino)-12-(cyclo(Arg-Gly-Asp-D-Phe-Lys)-dodecane-1,12-dione;

15 1-(1,2-Dipalmitoyl-sn-glycero-3-phosphoethanolamino)-12-((ω -amino-PEG₃₄₀₀- α -carbonyl)-cyclo(Arg-Gly-Asp-D-Phe-Lys))-dodecane-1,12-dione; and,

20 1-(1,2-Dipalmitoyl-sn-glycero-3-phosphoethanolamino)-12-((ω -amino-PEG₃₄₀₀- α -carbonyl)-Glu-(cyclo(Arg-Gly-Asp-D-Phe-Lys))₂)-Dodecane-1,12-dione.

25 43. An ultrasound contrast agent composition, comprising:

 (a) a compound of Claim 40, comprising: a cyclic pentapeptide that binds to the integrin $\alpha_v\beta_3$, a surfactant and a linking group between the cyclicpentapeptide and the surfactant;

 (b) a parenterally acceptable carrier; and,
 (c) an echogenic gas.

30 44. An ultrasound contrast agent composition according to claim 43, further comprising: 1,2-dipalmitoyl-sn-glycero-3-phosphotidic acid, 1,2-dipalmitoyl-sn-glycero-3-phosphatidylcholine, and N-(methoxypolyethylene glycol 5000 carbamoyl)-1,2-dipalmitoyl-sn-glycero-3-phosphatidylethanolamine.

45. An ultrasound contrast agent composition according to claim 43, wherein, the echogenic gas is a C₂₋₅ perfluorocarbon.

5

46. A composition of Claim 40 which is for use in imaging cancer with sonography.

10

47. A composition of Claim 40 which is for use in imaging formation of new blood vessels.

15

48. A therapeutic radiopharmaceutical composition, comprising:

- (a) a therapeutic radiopharmaceutical of Claim 11; and,
- (b) a parenterally acceptable carrier.

20

49. A diagnostic radiopharmaceutical composition, comprising:

- (a) a diagnostic radiopharmaceutical, a MRI contrast agent, or a X-ray contrast agent of Claim 11; and,
- (b) a parenterally acceptable carrier.

25

50. A therapeutic radiopharmaceutical composition, comprising: a radiolabelled targeting moiety, wherein the targeting moiety is a compound Q of Claim 3 and the radiolabel is a therapeutic isotope selected from the group: ³⁵S, ³²P, ¹²⁵I, ¹³¹I, and ²¹¹At.

30

35

51. A therapeutic radiopharmaceutical composition, comprising: a radiolabelled targeting moiety, wherein the targeting moiety is a compound Q of Claim 5 and the radiolabel is a therapeutic isotope which is ¹³¹I.

52. A kit for treating cancer, comprising a compound of Claim 1, or a pharmaceutically acceptable salt thereof, and at least one agent selected from the group consisting of a chemotherapeutic agent and a radiosensitizer agent, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

53. A kit according to Claim 52 wherein said kit comprises a plurality of separate containers, wherein at least one of said containers contains a compound of Claim 1, or a pharmaceutically acceptable salt thereof, and at least another of said containers contains one or more agents selected from the group consisting of a chemotherapeutic agent and a radiosensitizer agent, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

54. A kit according to Claim 52, wherein the chemotherapeutic agent is selected from the group consisting of mitomycin, tretinoin, ribomustin, gemcitabine, vincristine, etoposide, cladribine, mitobronitol, methotrexate, doxorubicin, carboquone, pentostatin, nitracrine, zinostatin, cetorelix, letrozole, raltitrexed, daunorubicin, fadrozole, fotemustine, thymalfasin, sobuzoxane, nedaplatin, cytarabine, bicalutamide, vinorelbine, vesnarinone, aminoglutethimide, amsacrine, proglumide, elliptinium acetate, ketanserin, doxifluridine, etretinate, isotretinoin, streptozocin, nimustine, vindesine, flutamide, drogenil, butocin, carmofur, razoxane, sizofilan, carboplatin, mitolactol, tegafur, ifosfamide, prednimustine, picibanil, levamisole, teniposide, improsulfan, enocitabine, lisuride, oxymetholone, tamoxifen, progesterone, mepitiostane, epitiostanol, formestane, interferon-alpha, interferon-2 alpha, interferon-beta, interferon-gamma, colony stimulating factor-1, colony stimulating factor-2, denileukin diftitox, interleukin-2, and leutinizing hormone releasing factor.

55. A kit according to Claim 52, wherein the
chemotherapeutic agent is selected from the group consisting
of mitomycin, tretinoin, ribomustin, gemcitabine,
vincristine, etoposide, cladribine, mitobronitol,
5 methotrexate, doxorubicin, carboquone, pentostatin,
nitracrine, zinostatin, cetorelix, letrozole, raltitrexed,
daunorubicin, fadrozole, fotemustine, thymalfasin,
sobuzoxane, nedaplatin, cytarabine, bicalutamide,
vinorelbine, vesnarinone, aminoglutethimide, amsacrine,
10 proglumide, elliptinium acetate, ketanserin, doxifluridine,
etretinate, isotretinoin, streptozocin, nimustine,
vindesine, flutamide, drogenil, butocin, carmofur, razoxane,
sizofilan, carboplatin, mitolactol, tegafur, ifosfamide,
prednimustine, picibanil, levamisole, teniposide,
15 improsulfan, enocitabine, and lisuride.

56. A kit according to Claim 52 wherein the
chemotherapeutic agent is selected from the group consisting
of oxymetholone, tamoxifen, progesterone, mepitiostane,
20 epitiostanol, and formestane.

57. A kit according to Claim 52 wherein the
chemotherapeutic agent is selected from the group consisting
of interferon-alpha, interferon-2 alpha, interferon-beta,
25 interferon-gamma, colony stimulating factor-1, colony
stimulating factor-2, denileukin diftitox, interleukin-2,
and leutinizing hormone releasing factor.

58. A kit according to Claim 52, wherein radiosensitizer
30 agent is selected from the group consisting of 2-(3-nitro-
1,2,4-triazol-1-yl)-N-(2-methoxyethyl)acetamide, N-(3-nitro-
4-quinolinyl)-4-morpholinecarboxamidine, 3-amino-1,2,4-
benzotriazine-1,4-dioxide, N-(2-hydroxyethyl)-2-
nitroimidazole-1-acetamide, 1-(2-nitroimidazol-1-yl)-3-(1-
35 piperidinyl)-2-propanol, and 1-(2-nitro-1-imidazolyl)-3-(1-
aziridino)-2-propanol.

59. A therapeutic metallopharmaceutical composition according to Claim 11, wherein the metallopharmaceutical is a therapeutic radiopharmaceutical, further comprising at least one agent selected from the group consisting of a
5 chemotherapeutic agent and a radiosensitizer agent, or a pharmaceutically acceptable salt thereof.

60. A therapeutic metallopharmaceutical composition according to Claim 59, wherein the chemotherapeutic agent is
10 selected from the group consisting of mitomycin, tretinoin, ribomustin, gemcitabine, vincristine, etoposide, cladribine, mitobronitol, methotrexate, doxorubicin, carboquone, pentostatin, nitracrine, zinostatin, cetorelix, letrozole, raltitrexed, daunorubicin, fadrozole, fotemustine,
15 thymalfasin, sobuzoxane, nedaplatin, cytarabine, bicalutamide, vinorelbine, vesnarinone, aminoglutethimide, amsacrine, proglumide, elliptinium acetate, ketanserin, doxifluridine, etretinate, isotretinoin, streptozocin, nimustine, vindesine, flutamide, drogenil, butocin,
20 carmofur, razoxane, sizofilan, carboplatin, mitolactol, tegafur, ifosfamide, prednimustine, picibanil, levamisole, teniposide, improsulfan, enocitabine, lisuride, oxymetholone, tamoxifen, progesterone, mepitiostane, epitiostanol, formestane, interferon-alpha, interferon-2
25 alpha, interferon-beta, interferon-gamma, colony stimulating factor-1, colony stimulating factor-2, denileukin diftitox, interleukin-2, and leutinizing hormone releasing factor.

61. A therapeutic metallopharmaceutical composition according to Claim 59, wherein radiosensitizer agent is
30 selected from the group consisting of 2-(3-nitro-1,2,4-triazol-1-yl)-N-(2-methoxyethyl)acetamide, N-(3-nitro-4-quinolinyl)-4-morpholinecarboxamide, 3-amino-1,2,4-benzotriazine-1,4-dioxide, N-(2-hydroxyethyl)-2-
35 nitroimidazole-1-acetamide, 1-(2-nitroimidazol-1-yl)-3-(1-piperidinyl)-2-propanol, and 1-(2-nitro-1-imidazolyl)-3-(1-aziridino)-2-propanol.

62. A method of treating cancer in a patient comprising:
administering to a patient in need thereof a therapeutic
radiopharmaceutical of Claim 19 or a pharmaceutically
acceptable salt thereof, and at least one agent selected
5 from the group consisting of a chemotherapeutic agent and a
radiosensitizer agent, or a pharmaceutically acceptable salt
thereof.

63. A method of treating cancer according to Claim 62,
10 wherein the administration is by injection or infusion.

64. A method according to Claim 62 wherein administering
the therapeutic radiopharmaceutical and agent is concurrent.

15 65. A method according to Claim 62 wherein administering
the therapeutic radiopharmaceutical and agent is sequential.

66. A method according to Claim 62 wherein the cancer is
selected from the group consisting of carcinomas of the
20 lung, breast, ovary, stomach, pancreas, larynx, esophagus,
testes, liver, parotid, biliary tract, colon, rectum,
cervix, uterus, endometrium, kidney, bladder,
prostate, thyroid, squamous cell carcinomas, adenocarcinomas,
small cell carcinomas, melanomas, gliomas, and
25 neuroblastomas.

67. A method according to Claim 62 wherein the
chemotherapeutic agent is selected from the group consisting
of mitomycin, tretinoin, ribomustin, gemcitabine,
30 vincristine, etoposide, cladribine, mitobronitol,
methotrexate, doxorubicin, carboquone, pentostatin,
nitracrine, zinostatin, cetorelix, letrozole, raltitrexed,
daunorubicin, fadrozole, fotemustine, thymalfasin,
sobuzoxane, nedaplatin, cytarabine, bicalutamide,
35 vinorelbine, vesnarinone, aminoglutethimide, amsacrine,
proglumide, elliptinium acetate, ketanserine, doxifluridine,
etretinate, isotretinoin, streptozocin, nimustine,
vindesine, flutamide, drogenil, butocin, carmofur, razoxane,

- sizofilan, carboplatin, mitolactol, tegafur, ifosfamide, prednimustine, picibanil, levamisole, teniposide, improsulfan, enocitabine, lisuride, oxymetholone, tamoxifen, progesterone, mepitiostane, epitio stanol, formestane,
- 5 interferon-alpha, interferon-2 alpha, interferon-beta, interferon-gamma, colony stimulating factor-1, colony stimulating factor-2, denileukin diftitox, interleukin-2, and leutinizing hormone releasing factor.
- 10 68. A method according to claim 62 wherein the radiosensitizer agent is selected from the group consisting of 2-(3-nitro-1,2,4-triazol-1-yl)-N-(2-methoxyethyl)acetamide, N-(3-nitro-4-quinolinyl)-4-morpholinecarboxamidine, 3-amino-1,2,4-benzotriazine-1,4-
- 15 dioxide, N-(2-hydroxyethyl)-2-nitroimidazole-1-acetamide, 1-(2-nitroimidazol-1-yl)-3-(1-piperidinyl)-2-propanol, and 1-(2-nitro-1-imidazolyl)-3-(1-aziridino)-2-propanol.
69. A process for the preparation of diagnostic or
- 20 therapeutic metallopharmaceutical composition, said process comprising generating a macrostructure from a plurality of molecular components wherein the plurality of components includes a targeting moiety and a chelator, wherein the targeting moiety is a peptide or peptidomimetic, which is
- 25 bound to the chelator, and binds to a receptor that is upregulated during angiogenesis and the compound has 0-1 linking groups between the targeting moiety and chelator.